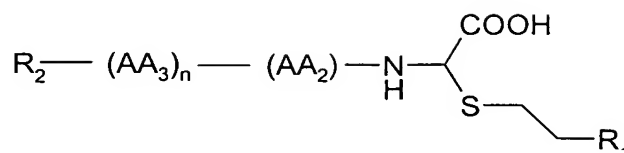


Claims

1. Compounds of general formula I



wherein

R_1 means a CH_2NH_2 or $\text{NHC}(\text{NH})\text{NH}_2$ group,

AA_2 means non-substituted or substituted lysine, ornithine, arginine or histidine, wherein the substituents are common protective groups,

AA_3 means a natural amino acid in which a present, protectable group may be substituted with a usual protective group in the side chain,

n represents 0 or 1, and

R_2 means a Bz, Bzl, Ac, Boc, Z, Suc, MeoSuc or Tos group,

provided that the following cases do not occur simultaneously: $n = 0$, $R_1 = \text{NHC}(\text{NH})\text{NH}_2$, $R_2 = \text{Z}$ and $(AA_2) =$ non-substituted or Boc-substituted lysine, as racemated or as enantiomeric pure isomers, and the salts thereof with mineral or organic acids.

2. Compounds according to claim 1, wherein AA_2 represents Lys(ϵ -Z), Lys(ϵ -Boc), Lys(ϵ -Ac), Lys(ϵ -Bz), Lys(ϵ -Bzl), Lys(ϵ -Tos), Orn(δ -Z), Orn(δ -Boc), Orn(δ -2-chlor-Z), Orn(δ -Dnp), Orn(δ -Z), Orn(δ -Aloc), Arg(ω -Pbf), Arg(δ, ω -Boc)₂, Arg(δ, ω -Z)₂, Arg(ω -Tos), His(N^{im} -Boc), His(N^{im} -Ac), His(N^{im} -Bz), His(N^{im} -Bzl) or His(N^{im} -Tos), Lys(ϵ -Z) being preferred.

3. Compounds according to claim 1 or 2, wherein AA_3 means Ala, Ser, Phe, Val, Ile, Leu, Thr, Pro, Lys, Arg, His, Asp, Glu, Asn, Gln, Cys, Met, Trp, Tyr or Gly, wherein a present, protectable group may be substituted with a common protective group, such as tBu, Bzl or Ac, in the side chain.

4. Compounds according to claim 3, wherein AA_3 means Phe, Ala, Val or Ser possibly protected with tBu, Bzl or Ac.

5. Compounds according to claim 1, wherein
R₁ means NHC(NH)NH₂,
AA₂ means Val, Lys(ε-Z) or Lys(ε-Boc),
5 AA₃ means Ala, Ser, Phe, Val, Ser(tBu), Ser(Bzl) or Ser(Ac),
n represents 0 or 1, and
R₂ represents Bz, Boc or Z.
6. Compounds according to claim 1, wherein
10 R₁ means CH₂NH₂,
AA₂ means Val, Lys(ε-Z) or Lys(ε-Boc),
AA₃ means Ala, Ser, Phe, Val, Ser(tBu), Ser(Bzl) or Ser(Ac),
n represents 0 or 1, and
R₂ represents Bz, Boc or Z.
- 15 7. Compounds according to one of claims 1 to 6 thereby characterized that they are present as acid addition salts in the form of hydrobromides, hydrochlorides, trifluoroacetates or acetates.
- 20 8. Use of the compounds according to one of claims 1 to 7 as substrates for the determination of TAFIa.
9. Method for the determination of TAFIa comprising reaction of TAFIa in the presence of 5,5'-dithiobis-(2-nitrobenzoic acid) on a compound according to one of
25 claims 1 to 7 and spectrophotometric measurement of the absorption between 400 and 412 nm resulting from the formation of 3-carboxy-4-nitrothiophenol as a function of time.
10. Method according to claim 9 thereby characterized that the reaction takes place
30 for 5 to 15 minutes, preferably for 10 minutes at a temperature between 10°C and 37°C, in particular at room temperature.
11. Method according to claim 9 or 10 thereby characterized that the TAFI present in blood plasma is used as the source of TAFIa.

12. Method for the preparation of formula I as defined in claim 1 comprising alkaline saponification of a corresponding alkylester.